

Part 6
#16

145 U.S.P.Q. 274
 52 C.C.P.A. 1238, 343 F.2d 965
 (Cite as: 145 U.S.P.Q. 274)

▷ In re RUSCHIG, AUMULLER, KORGER,
 WAGNER, SCHOLZ, AND BANDER

Court of Customs and Patent Appeals

Appl. No. 7254

Decided Apr. 22, 1965

United States Patents Quarterly Headnotes

PATENTS

[1] Patent grant—Intent of patent laws (§ 50.15)
Patentability—Composition of matter (§ 51.30)
35 U.S.C. 103 is applicable to claimed chemical compounds; it is court's duty to so apply section 103 as to carry out fundamental congressional intent, expressed in Constitutional mandate to Congress, to make patent laws adapted to promote progress in the useful arts; Congress points out the general direction and leaves detailed application to specific problems to court; court's solution should be in terms that Patent Office, the bar, and other courts can understand and which also appear to make practical as well as legal and logical sense.

PATENTS

[2] Interference—In general (§ 41.01)

Interferences are set up only on allowable applications.

PATENTS

[3] Patentability — Anticipation -- In general (§ 51.201)

To say that prior art compounds are "within the scope of" rejected claims is to say that claims are "anticipated."

PATENTS

[4] Claims—In general (§ 20.01)

Inclusion in compound claim of statement of inherent property adds nothing to claim definition of named compound where balance of claim fully identifies compound and the property is inherent.

PATENTS

[5] Patentability—Composition of matter (§ 51.30)

Court did not intend In re Petering, 133 USPQ 275, to become a precedent for mechanistic dissection and recombination of components of the specific illustrative compounds in every chemical reference containing them, to create hindsight anticipations with the guidance of applicant's disclosures, on the theory that such reconstructed disclosures describe specific compounds within meaning of 35 U.S.C. 102; In re Petering does not apply where a small recognizable class with common properties is not obtained after dissection and recombination of components of prior compounds.

PATENTS

[6] Patentability—Composition of matter (§ 51.30)

Claims to compounds are not rejected as obvious over the next lower homologue thereof since claimed compounds have unexpected advantageous properties not possessed by homologue.

PATENTS

[7] Patentability—Composition of matter (§ 51.30)

Patentability — Invention -- In general (§ 51.501)

Use of "obvious" in 35 U.S.C. 103, a section intended to ameliorate effect of certain harsh court decision on patentability, does not make unpatentable chemical compounds which would have been patentable under decisions antedating enactment of that section.

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[8] Patentability—Composition of matter (§ 51.30)

On issue of obviousness of claimed compounds, vague "basket" disclosure of possible uses in prior patents is unimportant; what is important is fact that utility discovered by applicants is not disclosed in prior art; claims are allowed.

PATENTS

[9] Claims—In general (§ 20.01)

Claims—Process (§ 20.80)

Recognizing the practical advantages which product claims have over process claims from standpoint of protection, court allow product claims covering new compounds in which unobvious inherent properties have been found; balancing alternatives of providing adequate protection to applicants' limited group of anti-diabetic agents against the mere possibility that someone might wish to use some of them for some

such purpose as making a textile size, court favors the former.

PATENTS

[10] Patent grant--Intent of patent laws (§ 50.15)

Basic principle of patent system is to protect inventions which meet statutory requirements; valuable inventions should be given protection of value in the real world of business and the courts.

PATENTS

Particular patents--Ureas

Ruschig, Aumuller, Korger, Wagner, Scholz, and Bander, New Benzene Sulfonyl Ureas and Process for Their Preparation, claims 1 to 6 and 8 to 13 of application allowed.

*275 Appeal from Board of Appeals of the Patent Office.

Application for patent of Heinrich Ruschig, Walter Aumuller, Gerhard Korger, Hans Wagner, Josef Scholz, and Alfred Bander, Serial No. 601,107, filed July 31, 1956; Patent Office Group 120. From decision rejecting claims 1 to 6 and 8 to 13, applicants appeal. Reversed; Martin, Judge, concurring with opinion.

GEORGE E. FROST, Chicago, Ill., HENRY W. KOSTER, New York, N.Y., and EUGENE RETTER and JOHN KEKICH, both of Kalamazoo, Mich., for appellants.

CLARENCE W. MOORE (JOSEPH SCHIMMEL of counsel) for Commissioner of Patents.

Before WORLEY, Chief Judge, and RICH, MARTIN, SMITH, and ALMOND, Associate Judges.

RICH, Judge.

This appeal is from the decision of the Patent Board of Appeals affirming the examiner's rejection of claims 1-6 and 8-13 of application serial No. 601,107, filed July 31, 1956, for a patent on "New

Benzene Sulfonyl Ureas and Process for their Preparation." All appealed claims are directed to compounds. The appeal from the examiner to the board was on claims 1-13 but in his answer before the board the examiner said, "upon reconsideration claim 7 is deemed allowable."

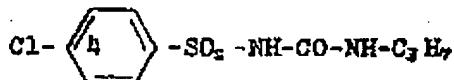
The board's opinion recites the fact that there were other claims, 17 and 19-25, referred to as the "non-elected" claims herein, "directed to the process of lowering blood sugar in the treatment of diabetes by the oral administration of, and to pharmaceutical tablets containing, compounds recited in substantially the same manner as in compound claims 1, 2, 3 and 13" (our emphasis) but that the examiner required restriction as between those claims and the claims here on appeal, as a result of which "A divisional application containing claims 17 and 19 to 25 as claims 1 to 8 thereof has been filed and is pending." [FN1] We see no relevancy of these facts to the issue of the patentability of the claims to the compounds before us but recite them because the board, possibly the examiner, and certainly the solicitor for the Patent Office seem to have had them in mind in stating their reasons for rejection, as will appear.

The Invention

The invention here is more than the making of new compounds in the abstract. The field of endeavor in which the claimed invention is found is the production of an oral medication for the control of diabetes mellitus, the common type of diabetes long treated by daily injections of insulin. As is well known, a characteristic of the disease is an abnormal amount of sugar in the blood due to insulin deficiency.

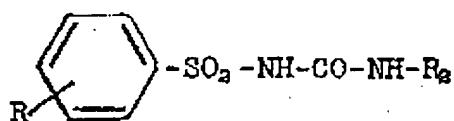
The obvious practical disadvantages of the hypodermically injected insulin *276 gave rise to research to discover and develop an oral medication to take its place and as a result of this research of recent years a few such oral pharmaceuticals have become available. One of them is sold under the trademark "Orinase," which has the descriptive name tolbutamide [FN2] and is N-(4-methylbenzenesulfonyl)-N'-n-butyl urea. Another one developed later and approved for marketing by the Federal Food and Drug Administration in November 1958, is sold under the trademark "Diabinese," which has the descriptive name chlorpropamide and is N-(4-chloro-benzenesulfonyl)-N'-n-propyl urea. This compound is the subject matter of claim 13 on appeal of the application at bar where it is designated "N-(P-

chlorobenzenesulfonyl) - N' - propylurea," the graphic formula of which is



We have marked the "4" position of the chlorine, which is also the para or "p" position. It is interesting to compare this with allowed claim 7, which reads:

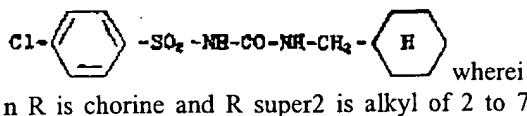
7. The compound of the formula



It will be useful in this discussion to bear in mind the basic nomenclature of such compounds as the above. They are of the general class of sulfonyl ureas. The sulfonyl group is the -SO₂- . Urea is NH₂ - CO-NH₂ and these compounds are substituted urea. It will be noted that urea has two N (nitrogen) atoms and to distinguish them in substitution products they are conventionally referred to as N and N'. We will hereafter refer to the nitrogen atom bearing the sulfonyl group as N. In the above claims it will be seen that one of the H (hydrogen) atoms attached to N has been replaced or substituted by the chlorobenzene-sulfonyl group. In claim 13, above, one of the hydrogens attached to N' has been substituted by the propyl group -C₃H₇, an alkyl group having 3 carbon atoms. In claim 7 the same H has been substituted by a cycloalkylalkyl radical, cyclohexymethyl, -CH₂- or methylene attached to the hexagon containing "H" representing a cyclohexyl or -C₆H₁₁ ring, not to be confused with the benzene ring. Thus there is always the urea group -NH-CO-NH-, preceded by the benzene-sulfonyl group, on the ring of which there may be one or more additional substituents like Cl-, and followed by an N'-substituent.

Claims 7 and 13, above, are two of ten species claims in the application, the other claims being generic (claims 1 and 2) or subgeneric (claims 8 and 9). Of the broad claims the board selected claim 2 for purposes of its analysis of the patentability issue. It reads:

2. Benzenesulphonylureas of the formula

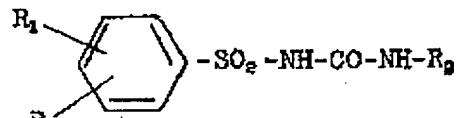


wherein R is chlorine and R² is alkyl of 2 to 7

carbon atoms.

Claim 1 is the broadest, generic to all species claimed but still defining a limited class, and it reads:

1. Compounds selected from the group consisting of (1) benzenesulphonyl ureas of the formula



wherein R is selected from the group consisting of hydrogen, chlorine, bromine, methyl and methoxy, R¹ is selected from the group consisting of chlorine and bromine and R² is of 2 to 7 carbon atoms selected from the group consisting of alkyl-, alkenyl-, cycloalkyl- and cycloalkyl-alkyl atoms [sic] and (2) non-toxic basic addition salts thereof. [FN3]

The board put some emphasis on the fact that the claims on appeal define compounds "without limitation," which we presume adverts to the lack of any reference in these compound claims to a use for them, though it would not seem that reference to a use in a compound claim would in law be a "limitation," on the question of patentability, a point we need not go into. See *In re Thuau*, 30 CCPA 979, 135 F.2d 344, 57 USPO 324, and *In re Jones*, 32 CCPA 1020, 149 F.2d 501, 65 USPO 480. Perhaps the board's observation was stimulated by the following statement in *277 the concluding paragraph of the examiner's answer before the board:

The claims are directed to compounds and not to the use of these compounds in any particular manner. Appellants do not, however, recognize the necessity for this conjunction of utility and product in the claims presented but seek a patent on the compounds per se. [Emphasis ours.]

We confess a failure to grasp what the examiner intended by that observation, made as part of his insistence that the compounds are unpatentable because they would be obvious from the prior art, under 35 U.S.C. 103, unless it be that in his view applicants are entitled only to claims for a process of treating diabetes. Would a statement in the claims of what the compounds are useful for convert them into claims to compounds

which are any less obvious? It is the compounds the examiner says are obvious, not the claims, and it is compounds which the claims define.

To return to our consideration of the place of this invention in the useful arts, these compounds, as here defined in generic and specific claims, have been discovered to have a particular utility which is extensively described in the specification and further expounded in five of the nine affidavits of record.

The claimed compounds fall into the general class of sulfonylureas, which the Patent Office admits may number in the millions. Those singled out here for patenting have been discovered by appellants, as a result of their systematic, extensive, and presumably expensive research, to possess the ability to lower the level of blood sugar (known as hypoglycemic activity), for which reason they are useful in treating diabetes, but particularly because of other desirable properties they possess in connection with such use. We quote relevant passages from the specification:

As has been demonstrated by experiments on animals and in clinical tests, the products of the invention produce a substantial lowering of the blood sugar level. They may be used as such or in the form of their salts, or in the presence of substances that cause salt formation. * * * These salts have the same blood sugar lowering properties. * * * The compounds can be made up, inter alia, into preparations suitable for oral administration and lowering the blood sugar in the treatment of diabetes.

In animal tests the action on the blood sugar

| | | | | | | |
|----------------------------|----|----|----|----|----|----|
| % sugar level lowering.... | 27 | 40 | 40 | 32 | 15 | 0 |
| after stated hours..... | 1 | 3 | 24 | 48 | 72 | 96 |

An affidavit of record by Dr. Dumas, Director of Clinical Research for Chas. Pfizer & Co., Inc., which sells the "Diabinese" (chlorpropamide) product of claim 13, which is the same as the claim 3 compound except that instead of the butyl (4 carbon) radical it has a propyl (3 carbon) radical, indicates by reference to published clinical studies [FN4] that the here claimed chlorpropamide sometimes has advantages over tolbutamide ("Orinase") in that *278 human

level has been demonstrated, for example, on mice, rats, guinea pigs, rabbits, cats and dogs. * * *

The testing of the compounds on dogs has the advantage that the resorption conditions in the alimentary canal are similar to those of human beings, and that the blood sugar level exhibits smaller individual variations than in rabbits. * * *

As compared with compounds of similar constitution of the sulphanilyl series the compounds of the present invention are distinguished, on one hand, in that they are more resistant to external oxidising influences, such as atmospheric oxygen, which is of importance to their shelf-life and handling, and, on the other, in that they have no bacteriostatic action.

Furthermore, the new compounds do not produce the secondary effects of sulphonamides on the blood (Heinz bodies) or on the thyroid gland, nor the digestive disturbances caused by action on the bacterial flora of the alimentary tract. * * *

Together with these general statements there are included two tables, the first giving the specific blood sugar level reduction produced in rabbits by ten specific compounds here claimed, the second showing the lowering of blood sugar in the dog at various periods after administration, the showing being that the hypoglycemic activity of the compounds of species claims 3 and 5, at least, is long lasting. To illustrate, the figures for N-(4-chloro- benzenesulfonyl) - N' - n - butyl - urea, the claim 3 compound, are:

patients who lost responsiveness to tolbutamide were satisfactorily managed with chlorpropamide in 62% of 84 cases studied and that of another group of 118 cases treated for from 2 to 14 months with chlorpropamide it was successful in 79% of the cases, in a number of which the disease was either poorly controlled by tolbutamide or in which secondary failure occurred, that is the patient had originally been treated with tolbutamide and subsequently

become unresponsive to the drug.

It should be explained why it is significant that, as the specification states, supra, the claimed compounds do not have bacteriostatic action, the inhibition of the growth of bacteria, also herein termed "sulfa-drug action." Such action is present in a closely related anti-diabetic drug "BZ-55," [N-(4-aminobenzenesulfonyl) - N' - n - butyl urea, also named N₁ sub1 -sulfanilyl-N₂ sub2 -n-butyl carbamide], its disadvantage being that it produces in a diabetic, who must have drug therapy continuously, bacteria strains which are resistant to sulfa-drug therapy. This is medically recognized as disadvantageous, as is suppression of intestinal flora which interferes with the digestive process.

To summarize as to the invention, appellants Ruschig, Aumuller, Körger, Wagner, Scholz and Bander, assignors to the firm of Farbwerte Hoechst AG, vormals Meister Lucius & Bruning at Frankfurt/Main, Federal Republic of Germany, which

conducts pharmaceutical research laboratories, working in the vast field of sulfonylurea compounds for the purpose of finding or developing improved oral diabetic control medications, have succeeded in preparing and delimiting a restricted group of sulfonylureas, prepared by reactions of a type known to the art, between known materials, which have the desirable properties, necessary to the purpose, of (1) lowering the level of blood sugar, (2) non-toxicity, (3) no bacteriostatic or "sulfa-drug" action, (4) prolonged action, (5) the ability to control some diabetics who have shown inadequate response to other quite similar oral drugs.

Claims Tabulated

The sulfonylureas claimed are relatively few in number. First we will list those of the specific claims.

N-benzene

Claim Substituent

| | | |
|-----|----------|------------------|
| 3 | 4-chloro | -benzenesulfonyl |
| 4 | 3-chloro | " |
| 5 | 4-bromo | " |
| 6 | 4-chloro | " |
| (7) | 4-chloro | " |

all'd.

| | | |
|----|-------------------|---|
| 10 | 3-chloro-4-methyl | " |
| 11 | 3-chloro-4-methyl | " |
| 12 | 6-chloro-2-methyl | " |
| 13 | 4-chloro | " |

N'

Substituent

| | |
|-------------------|------|
| -n-butyl | urea |
| -n-butyl | " |
| -n-butyl | " |
| -cyclohexyl | " |
| -cyclohexylmethyl | " |
| -isobutyl | " |
| -n-butyl | " |
| -n-butyl | " |
| -propyl | " |

The generic and subgeneric claims may be summarized thus:

| | | | | |
|---|--------------------------|---|------------------|----|
| 1 | a chloro or a bromo with | " | alkyl, alkenyl, | " |
| | which there may be a | | cycloalkyl, | or |
| | methyl or a methoxy or | | cycloalkylalkyl; | |

| | | |
|---|-----------------------------|---------------------|
| | another chloro or bromo | with 2-7 carbon |
| 2 | a chloro in any position " | atoms |
| | on the ring | alkyl, 2-7 carbon " |
| 8 | both chloro and methyl in " | atoms |
| | any positions on the | |
| | ring | |
| 9 | [same as claim 8] | -butyl " |

For the reason appearing in the first column, we treat claim 9 as subgeneric though it appears to have been treated by the parties as specific; it includes more than one compound.

Claim 2, it will be seen, is even narrower than the specific claims taken collectively except for the position of the -chloro. Appellants state that, neglecting isomers it covers only six compounds. Claim 8, and certainly claim 9, are likewise of quite limited scope. Claim 1 is of not much greater scope than the specific claims taken collectively, is in accord with the broad statement of the invention in the specification *279 and appears to us to be only such a generic claim as would be drafted to include all of the disclosed species and obvious variants thereof to meet the Patent Office requirement for a generic claim. See Rule 141. In any event, this is the group of compounds disclosed as having the properties above referred to so as to be useful as an oral anti-diabetic medication.

Before leaving our discussion of the invention, we make the observation that in a case of this character, chemists do not merely puddle about in their laboratories making new compounds which any competent chemist possibly could make, given some purpose for making them. They proceed according to some plan and having made new compounds they still have laboriously to test out their biological properties on mice, rats, rabbits, dogs, and humans, in order to locate those compounds of therapeutic use to mankind and to determine the principle, if there is one, or the group classification, if there is one, related to that utility. We are quite aware that in such situations there is always the philosophical question,

susceptible of various theoretical answers, of just who invented what? Is the "invention" in the new compounds, in the determination of their utility, or in some pill made according to known pill-making techniques? Or is it in the administering or the swallowing of the pill? Is it not self-evident that the "invention" in such cases is in the nature of a legal abstraction? And is it not also evident that a patent system must be related to the world of commerce rather than to the realm of philosophy?

The most recent thinking on these problems to come to our attention--which has not a little to say about our recent decisions--is entitled, "Is 35 U.S.C. 103 Applicable to Chemical Compounds?", by Marion Wayne Western, IDEA, Vol. 8, No. 3, Fall 1964, published by the Patent, Trademark and Copyright Institute of the George Washington University, pages 443-454.

[1] We do not have the freedom of the author to speculate as to whether section 103 is applicable to claimed chemical compounds, as Congress has told us that it is; and it is our duty to so apply it as to carry out the fundamental congressional intent, expressed in the Constitutional mandate to Congress, to make patent laws adapted to promote progress in the useful arts. This is often a difficult task; Congress points the general direction and leaves the detailed application to specific problems to us. Our solution should be in terms that the Patent Office, the bar, and other courts can understand and which also appear to make practical as well as legal and logical sense. To that task we now specifically apply ourselves. Hopefully, it will also make sense to chemists, biologists, and

pharmacologists.

The Rejection

The examiner and the board rely on three references, all patents issued to the firm of J. R. Geigy A. G., Basel, Switzerland:

Martin et al. U.S., 2,371,178, Mar. 13, 1945.

Swedish Patent, 120,428, Dec. 16, 1947.

French Patent, 919,464, Nov. 25, 1946. [FN5]

However, the examiner explained that "The Geigy (French Patent) teaches essentially the same subject matter as the Swedish Patent," the board agreed that the two are "substantial duplicates except that the Swedish patent has two examples not in the French patent" and said "Only the French patent will be discussed as our study of this reference has been with the French text." (We have only its English translation of record.) The solicitor, after naming the references, said "no need is seen to make any further reference here to the Swedish patent." The two added examples of the Swedish patent are not relied on. In effect, therefore, we are concerned with the disclosures of but two patents, which we shall refer to as the Martin and French patents.

The examiner's final rejection, on February 13, 1962, was that claims 1-5 and 8-13 were "unpatentable over" Martin, and "unpatentable over" each of the French and Swedish patents, and claims 6-7 were "unpatentable over" the French patent. "To reiterate," he said, "the claimed compounds are deemed clearly obvious to one of ordinary skill in the art."

The examiner's answer before the board, on August 14, 1962, said, "upon reconsideration claim 7 is deemed allowable," no reason being stated. The examiner also expressly withdrew the rejection of claims 8-12 on Martin, no reason being stated. He added the Swedish *280 patent to the rejection of claim 6. This left the situation as reported in the board opinion, April 30, 1963: claims 1-5 and 13 rejected on Martin and claims 1-6 and 8-13 rejected on the French or Swedish patent.

We mention the dates because our decision in

In re Papesch, 50 CCPA 1084, 315 F.2d 381, 137 USPQ 43, which we think has a bearing on this case, was handed down March 20, 1963. It was, therefore, not considered by the examiner but was considered by the board, to the extent of summarily distinguishing it on its facts, in ten lines. The solicitor also suggests that the Papesch case is factually distinguishable from the situation here.

The board opinion states at the outset, and the solicitor in his oral argument said it is "significant history," that claim 3 was the count in an interference, No. 89,009, and claim 13 was the count in another interference, No. 89,010, [FN6] both interferences having been dissolved by the examiner on his own motion on the ground of unpatentability over the references used here. While this is of interest, we fail to see that it has any bearing on the patentability issue before us except to emphasize its importance and the possible effect of this decision on others than the appellants. It does incidentally explain the presence in our record of Dr. Dumas' affidavit (from Interference No. 89,010) and other interference papers. [2] Since interferences are set up only on allowable applications (Rule 203), it would also indicate that at one time the examiner must have considered claims 3 and 13 to be patentable, subsequent to which (on July 20, 1961) fourteen new references were cited including the three relied on here. This may be more interesting to those who know the situation than it is to us. We do sense, however, that we are participating in but one scene of a much larger drama.

The examiner and the solicitor, on the one hand, took a somewhat more restricted view of the ground of rejection on the Geigy company's patents than did the board, on the other hand. The examiner restricted himself to the view that appellants' claimed compounds are unpatentable because they are obvious under section 103. The solicitor took the same view, which he summed up in his brief as follows:

Clearly, then the compounds defined by subgeneric claim 2 are obvious as compounds in view of the French patent disclosure. The sole issue, then, is whether such compounds are obvious within the meaning of 35 U.S.C. 103, as that term in that section of the statute has been interpreted by this Court in In re Papesch, 50 CCPA 1084, 315 F.2d 381, 137 USPQ 43; In re Petering, supra, [49 CCPA 993, 301 F.2d 676,

133 USPQ 275], and In re Lambooy, 49 CCPA 985, 300 F.2d 950, 133 USPQ 270.

The board position, however, goes beyond that of the examiner, and beyond what the solicitor chose to argue in this court, in that its opinion makes the following statement:

If the specific examples exemplifying the generic disclosure [of the French patent] are looked to, the possible combinations are quite small and include several compounds disclosed by appellants and within the scope of claims 1 and 2. Following In re Petering, 133 USPQ 275, these claims can even be said to be anticipated. [Emphasis ours.]

Speaking of the Martin patent, the board opinion includes this statement:

Thus there are disclosed the making of several compounds which [within?] the scope of claims 1 and 2 and over which claims 3 to 5 and 13 are considered obvious. [Emphasis ours.]

[3] To say that prior art compounds are "within the scope of" appealed claims is to say that those claims are "anticipated" and the board, therefore, appears to have taken the position that, "Following In re Petering," claims 1 and 2 read on the prior art and are unpatentable for want of novelty under 35 U.S.C. 102, though the board made no reference to that section of the statute. In Petering, however, we did, expressly resting the rejection on section 102(b) on the ground the disclosure was such that it described the compound claimed. In "Following In re Petering," the intent of the board to rely on section 102 seems clear.

In this situation we have two different issues to deal with, anticipation under section 102 and obviousness under section 103. To keep matters clear, we shall deal with them separately.

*281 Opinion

Notwithstanding the two statements of the board just quoted, counsel for appellants made three statements, both in their brief and at oral argument, which the Patent Office has not controverted and which we find to accord with the record. They are:

"There is no specific example in any reference of the making of any compound within even the

broadest claim here sought."

"There is no disclosure in any reference of any blood sugar lowering action or any compound that is said to have blood sugar lowering action."

"No reference contains a specific utility disclosure of any sort, or states that any particular compound or compounds have any particular utility."

In regard to the last statement, we will quote what the references say about utility from which it will be seen that the disclosures are very general. Martin refers to "valuable sulphonamide derivatives" and contains the statement that "The claimed new sulphonamide derivatives are remarkably suitable for therapeutical purposes." [FN7] Each of the four product or compound claims ends with the phrase, "being a colorless compound of therapeutical properties." (Perhaps that is what the examiner had in mind in his reference to "the necessity for this conjunction of utility and product in the claims," but if he did, we fail to see the point of it. [FN8]) We note in passing that these compounds claimed by Martin, said to have unidentified "therapeutical" suitability are all sulfonyl urethanes, not ureas, and are not in the class claimed by appellants. It is suggested by appellants that perhaps they have "sulfa" drug antibacterial activity, since they contain the amino-benzene-sulfonyl-NH-structural unit of sulfanilamide, an early "sulfa" drug, which is the activity appellants specifically wish to avoid in oral anti-diabetic drugs.

The French (and corresponding Swedish) patent contains a "basket" statement of utility as follows:

The said procedure [for making N-substituted ureas] has general applications and furnishes products utilizable for the preparation of auxiliary products in the textile industry, for preservatives, disinfectants, anti-parasite agents such for example as anti-mite [moth in Swedish patent] products, or again the products can be used as plasticizers in the lacquer industry, and in the synthetic plastics industry. Some of these materials have therapeutic properties or they can be used in the industry as intermediate products.

We agree with appellants' statement that "There is no indication of which of the endless [meaning at least 130] possible products have which of

these possible uses."

Our concept of appellants' invention, as gathered from their specification and the surrounding supporting evidence from the prosecution history, is central to our thinking. What appellants invented, discovered, found out, or developed through research, is a group of particular substituted benzenesulfonyl ureas having hypoglycemic activity without antibacterial activity and which are non-toxic, so that they have superior properties as oral anti-diabetic drugs. They are, perforce, chemical compounds in which the aforesaid useful properties inhere.

Certain it is, and it has not been argued to the contrary, that this invention is not even hinted at in any reference. Nevertheless the Patent Office has refused a patent on this invention (which, indeed, is a "conjunction of utility and product," though claimed as new compounds found to have the desired biological effect) because the examiner and the board thought the compounds, looked upon as mere chemical formulae, would have been obvious; and the board, "Following in re Petering," additionally thought claims 1 and 2 would be "anticipated."

The Board's Own Anticipation Rejection

We shall first consider the board's view of claims 1 and 2 as "anticipated." The board opinion makes quite clear what it meant by the expression "Following In re Petering" in the passage quoted supra, namely, to take the specific illustrative examples of the French patent, dissect them into their chemical *282 R sub1 , R sub2 , and R sub3 components, and reassemble those components in all possible combinations to see whether any such combination, thus synthesized, falls within an appealed claim. This game is called "Following In re Petering," and that it is. But we disagree with the board since our view is that In re Petering should not be followed in this case because Petering involved a very special situation which we do not consider comparable to the situation at bar.

In Petering we came to the conclusion that a specific compound, 6,7-dimethyl-9-[beta-monohydroxethyl] - isoalloxazine, named in claim 10 and included in four other claims the rejection of which we affirmed, was actually described in the Karrer reference patent by reason of the particular

disclosure of that patent which we felt would be recognized by those of ordinary skill in the art as a description of some 20 compounds in a limited class, the members of which were very similar to one another in structure and all of which possessed the same properties. The class was isoalloxazines, three-ring compounds on which there were, in the small class, three variable substituents, Y, Z, and R. But both Y and Z were limited to variation only as between hydrogen (H) and methyl (CH sub3), giving the four possible combinations H,H; H,CH sub3 ; CH sub3 ,H; and CH sub3 ,CH sub3 . R in every case was a hydroxyalkyl radical which might vary in length from -CH sub2 OH to -CH sub2 (CHOH) sub4 CH sub2 OH, a total of only five members of that series being included in the small class description, disregarding isomerism. The four possible Y and Z combinations times the five hydroxyalkyl possibilities made a total of 20 possible compounds. Furthermore, the patent attributed the vitamin activity of these compounds to the presence of a hydroxyalkyl radical at R and showed that the vitamin activity was the same whether Y and Z were hydrogen or methyl. On these facts we concluded, 133 USPQ at 280:

It is our opinion that one skilled in this art would, on reading the Karrer patent, at once envisage each member of this limited class, even though this skilled person might not at once define in his mind the formal boundaries of the class as we have done here.

We put great emphasis in that opinion on the total circumstances in the case "including such factors as the limited number of variations for R, only two alternatives for Y and Z, no alternatives for the other ring positions, and a large unchanging parent structural nucleus."

[5] We did not intend our Petering opinion or decision to become a precedent for the mechanistic dissection and recombination of the components of the specific illustrative compounds in every chemical reference containing them, to create hindsight anticipations with the guidance of an applicant's disclosures, on the theory that such reconstructed disclosures describe specific compounds within the meaning of section 102. Furthermore, we do not find the present case to be of the type we had before us in Petering. Even if we take the 10 examples of the French or the 12 examples of the Swedish reference, take them apart and recombine them into different compounds than those named, we do not get a small recognizable

class with common properties. We would apparently get from the French patent some 130 and from the Swedish some 156 compounds. And in doing this we are not dealing with such closely related units as the H and CH sub3 and the five hydroxyalkyl components in Petering but with such widely differing R super1 choices as p-acylaminobenzene, diphenyl, beta-naphthalene and dimethylbenzene, to name a few from the thirteen possible choices. And for the R super3 choices there are such diverse radicals as ethyl, dodecyl, benzyl, and alpha-naphthyl. We will not apply the Petering type of analysis to such a situation. We therefore disagree with the view of the board (which the solicitor has not urged on us) that claims 1 and 1 "can even be said to be anticipated." We note that the board seems to have originated its use of Petering. Although the examiner specifically considered that case on another point for which appellants cited it, his only comment about it was that it was "not deemed controlling." We also note that the board gave no indication that it intended to make a new ground of rejection (under section 102, for example, pursuant to Rule 196(b)) different from the ground relied on by the examiner which was limited to obviousness, a section 103 rejection.

We hold similar views as to the board's indication that a specific description of compounds within claims 1 and 2 can be made out of the Martin disclosure. To do this the board selects p-chloro- and p-bromo- for R (as used in appellants' claim 2, supra) and ethyl or isoamyl for R sub2 to create, ex post facto, four undisclosed specific compounds out of a possible 259, according to appellants' apparently valid calculations. This is not the kind of description we found in Petering and we do not find here any "anticipation" by the Martin patent of claims 1 and 2.

*283 The Obviousness Rejection

This leaves for consideration the original examiner's rejection of all claims on appeal as unpatentable over the references because of obviousness. As to this rejection, we proceed on the correlative postulate that none of appellants' claimed compounds is in the prior art and on the basis that the Patent Office contends that the "differences between the subject matter sought to be patented and the prior art are such that the subject matter as a whole would have been obvious *** to a person having ordinary skill in the art ***." 35 U.S.C. 103.

To make out the case most favorable to the Patent Office, in which the structural differences between the appealed claims and the prior art are as small as possible, the solicitor takes for comparison a compound within appellants' claims 1 and 2 (not specifically claimed) which he finds in the affidavit of Dr. Dorzbach, who made pharmacological tests on various compounds for appellants. Using the affidavit numbering, he calls this compound "(2)" and first compares it with compound "(7)", also from the affidavit. To these he then adds examples 8, 9, and 10 of the French patent and, with the same numbering, we name these five compounds as follows (our emphasis):

- (2) N-(4-chloro-benzenesulfonyl)-N'-ethyl urea (claimed)
- (7) N-(4-chloro-benzenesulfonyl)-N'-methyl urea (affidavit)
- (8) N-(4-chloro-benzenesulfonyl)-N'-benzyl urea (prior art)
- (9) N-(4-methyl-benzenesulfonyl)-N'-phenyl urea (prior art)
- (10) N-(4-methyl-benzenesulfonyl)-N'-dodecyl urea (prior art)

For varying reasons, none of the above compounds listed, other than (2), is within appellants' claims: (7) because the N' - methyl has only one carbon, (8) because N' - benzyl is excluded, (9) because the claims require a chloro- or bromobenzene group and there is none and also because N' - phenyl is excluded, and (10) because there is no chloro- or bromobenzene and N' - dodecyl is excluded, having 12 carbons, the limit being 7. Some of these differences which distinguish the claimed group of compounds from the prior art may appear small but they are significant.

Compound (7) occupies a unique position. We have noted that it is from an affidavit. That affidavit indicates that it is from the French patent but it is not; the affidavit was simply in error in that assumption but lists it as a "known" compound and thus the solicitor's brief lists it. The solicitor took some pains at the oral argument to point out that (7) is not to be found in the French patent and is not derivable from it for want of any disclosed reactant that would produce an N' - methyl. Though (7) is not statutory "prior art" in this case, it illustrates an important fact. Compound (2), here claimed, was shown by tests on

rabbits to have hypoglycemic (blood sugar lowering) activity, though not as much as the second higher homolog with N' - butyl instead of ethyl. Compound (7), the next lower homolog, having an N'- methyl, was found by Dr. Dorzbach to have no hypoglycemic activity. And we here note that the compound which is the same except for having N' - propyl, intermediate ethyl and butyl in the series, described in claim 13, is effective enough to be on the market as "Diabinese."

[6] We think that, by his own admissions, the solicitor does not have the right to rely on compound (7) as prior art but according to our views it would make no difference if he could. He relies on it as a "next lower homologue" of claimed compounds and appellants have shown unexpected advantageous properties it does not possess. His next reliance is on compound (8) as one "analogous to the compounds, within the scope of claim 1, where the R sub2 substituent is a cyclo-group," the reference being to such compounds as those named in claim 6 and allowed claim 7 where the N' substituent is cyclohexyl or cyclohexyl- methyl, which are cyclo-alkyl, saturated substituents, not unsaturated aromatic substituents. The analogy is not close, in general; but the record here shows, as to compounds identical except that one has N' - cyclohexyl and the other N' - phenyl (compound (9) supra), that the latter has very high toxicity so as to be wholly unusable as a drug whereas the former has hypoglycemic activity and is non-toxic. (We have found no test of record on compound (8). We know nothing specific of its properties.)

The solicitor does not place any particular reliance on compound (10) beyond displaying its formula. Notwithstanding its structural similarities to the claimed compounds, the evidence is that upon test it proved to have no hypoglycemic activity at all.

Summarizing on the French and Swedish patents, the Patent Office position is that they disclose compounds which are homologs of or analogous to appellants' compounds, wherefore the latter are obvious. At the same time it *284 is admitted that these references do "not teach that any of the compounds have the property of lowering blood sugar," to quote the board.

The Patent Office also urges that appellants' compounds, though not named in the Martin patent, would be obvious therefrom, insofar as they are defined in claims 1-5 and 13. That position is

based on the contention that there is enough in Martin to teach one how to make compounds of the formula, R- benzenesulfonyl-R'-urea (to paraphrase the examiner) where R is p-chloro and p- bromo and R' is ethyl or isoamyl, which would fall within the claims aforesaid, through no such compounds are shown in Martin. This reference from beginning to end is concerned with compounds wherein R is a nitrogen-containing group in para position, p-nitro (NO sub2), p-amino (NH sub2), or p-acetyl amino (CH sub3 -CO-NH), all outside of appellants' claims. The patent shows how to convert nitro to amino by catalytic reduction and acetyl amino to amino by hydrogenation. All specific examples end up with, and all product claims are directed to, amino compounds.

One of Martin's processes is to cause "salts of sulphonamides of the benzene series, which contain in the p-position a nitrogen-containing group or a substituent replaceable by such a group, to react with carbonic acid derivatives capable of reaction." (Emphasis ours.) As examples of sulfonamides, salts of which may be used, he includes among four named salts "p-chloro- or bromobenzene sulphonamide." It is this disclosure which the Patent Office relies on. This appears from the record before us to be an anomalous disclosure for the reason that Martin expressly states, twice, that he wants a substituent in the para position replaceable by a nitrogen-containing group if it is not one, and the proofs here show, what the examiner expressly admitted, that p- chloro and p- bromo cannot be converted to a nitrogen-containing group, "by any of the known processes available in the prior art." The examiner felt this fact was immaterial and so did the board. Strictly speaking, perhaps it is; but we think one skilled in the art trying to follow Martin's processes to obtain his products would not be likely to use p-chloro- or bromobenzene sulfonamide salts. Martin did not in any of the 24 reactions he describes.

Summarizing on the Martin patent, there is no disclosure or description in it of any of appellants' compounds and, a fortiori, no description of their properties but at most disclosures of processes by which some of them might be made. As we understand this record, it is not contended that those skilled in the art would not know how to make the claimed compounds. Appellants' specification says, "The compounds of the above general formula [as in claim 1] are made by methods known for making sulphonyl-ureas." The Martin disclosure, therefore, is no closer to

appellants' compounds than a next adjacent homolog or an analogous compound would be and contains no more information about properties of the compounds it does disclose than the French or Swedish patents.

As to all references, the solicitor, when asked at oral argument whether they contain anything that would help in the treatment of diabetes, replied, "No. I unhesitatingly say no."

[7] For a score of years a consistent line of decisions has emanated from this court refusing to sustain rejections in fact situations essentially like that here. In the passage we quoted above from the solicitor's brief he correctly stated that the issue is obviousness as we have propounded the nature of that issue in the Lambooy, Petering, and Papesch cases. In Papesch we tried to make it clear that in our opinion the use of the term "obvious" in section 103, a section whose history shows it was intended to ameliorate the effect of certain harsh court decisions on patentability, does not make unpatentable chemical compounds which would have been patentable under decisions antedating the enactment of that section, reviewed in Papesch. We also dealt with the contention that a compound was so obvious that we should pay no attention to its unforeseeable beneficial or advantageous properties in determining patentability, rejecting that proposition, saying: "From the standpoint of patent law, a compound and all of its properties are inseparable; they are one and the same thing."

The board and the solicitor (the examiner did not have the case before him) attempt to distinguish this case from Papesch. The board said:

The situation here is not considered to be the same, in particular, it is pointed out that there are no comparative tests, or even allegations, that the compounds of the reference used as the basis of rejection do not possess the property involved, nor did the reference in Papesch disclose the variety of uses disclosed by the reference here, in fact it did not report any biological tests or disclose any use.

[8] *285 We cannot positively identify what the board had in mind as the compounds "used as the basis of rejection" but if we look to those selected by the solicitor for inclusion in his brief as the closest prior art, the board would seem to be in error in saying there were no comparative tests and that there is no evidence they "do not possess the

property involved * * *." We discussed above comparative tests which do show that the prior art compounds relied on do not possess the properties we find to be an integral part of appellants' invention. If, perchance, the board is referring to the compounds within the appealed claims which it was able to reconstruct from the dissected examples of the references, our answer is that we rejected that approach and also that the board is patently asking for proof of the impossible. As to what the Robins et al. reference in Papesch may or may not have disclosed by way of uses, we think that is no ground of distinction because our decision in that case rested on what the appellant disclosed which was not disclosed in the reference. Our decision here rests on similar ground. On the obviousness issue, the vague "basket" disclosure of possible uses in the French and Swedish patents and the equally vague disclosure of the Martin patent are unimportant. What is important is the fact that the utility discovered by appellants is not disclosed in the prior art. We see no factual ground on which to distinguish the Papesch case. This is also our answer to the solicitor's attempt to distinguish that case in saying:

In the instant case, the French patent discloses utilities for the compounds disclosed therein, and to this extent, the factual situation here differs from that of the Papesch case * * *.

He went a bit further, however, in asserting that the compound of Example 9 of the French patent was shown by evidence in the Dorzbach affidavit to have the utility "described for appellants' compounds * * *." While the evidence does show that the compound had a blood sugar level lowering property, it also disclosed that it was lethal, a fact omitted from the solicitor's argument. Very high toxicity, in our view, cancels out any notion of anti-diabetic "utility." Furthermore, it was appellants who disclosed the property to which the solicitor refers. It was not known to the prior art.

For the foregoing reasons, we think this case is clearly within the principles of the Papesch case and we see no need to repeat anything there stated. That is not a case that stands alone, having been predicated on the ten or so cases reviewed therein. We have also followed it or applied the same principles without referring to it in In re Riden, Jr., 50 CCPA 1411, 318 F.2d 761, 138 USPQ 112, 114, where Judge Almond, speaking for the court, said:

Chemical cases should not be decided solely on the basis of homology or analogy in structural formulae. The determination of obviousness is not the mechanistic overlaying of chemical formulae to observe whether a difference greater than a methylene group or a chlorine atom exists.

and in In re Lunsford, 51 CCPA 1000, 327 F.2d 526, 140 USPQ 425, 427, wherein Judge Martin, speaking for the court, finding an "unobvious property inherent in the claimed compounds" sufficient to overcome a showing of very close structural obviousness, said "there is no basis in law for ignoring any property," and in In re Ward, 51 CCPA 1132, 329 F.2d 1021, 141 USPQ 227, 228, wherein the court said:

* * * claims to chemical compounds are drawn to more than structural formulae. They define the compounds themselves and compounds possess properties which must be considered along with the formulae.

Here the esters might appear to be obvious in terms of the concept of their structure but that is only half the game. There remains the consideration of the properties of the esters. * * * That unexpected property cannot be ignored in the determination of obviousness of the claimed esters as substances and not as structural formulae.

Of course, we made the same sort of holding in the Lambooy case and in the Petering case as to some claims, yet the Patent Office has continued to present the identical issue to us. We hope our view of the law has now become clear.

There remains one point to consider. The board opinion presents an argument as to why our view of the law is wrong, in the following passage:

The French patent mentions textile treating agents, disinfectants, parasiticides, plasticizers and intermediates. If someone made compounds coming within the scope of the claims for any such purposes or used them for such purposes, the claims would be infringed, but what would lowering blood sugar have to do with the matter? The argument based on this property would of course be germane to at least some of the non-*286 -elected claims [process of lowering blood sugar in the treatment of diabetes?] which are so restricted that this property has

significance, but to allow any claim by reason of this property when it will dominate activity wholly unrelated to the property argued does seem somewhat irrational.

We have given full consideration to the foregoing. We do not think our holdings are irrational and we have made them with our eyes open. The solicitor put the question flatly before us at the conclusion of his oral argument saying that, while he did not deny that appellants had made an important invention in the field of diabetic medication, the question for this court is, "Is this the way to claim it in this case? Should it be claimed so that the property or the invention or the discovery that the appellants made here is defined in the claim and not merely set forth in the record? That's our position."

[9] Again, we considered the same position in Papesch and answered it by approving claims to compounds, recognizing the practical advantages product claims have from the standpoint of protection. As we have indicated above, where we are concerned with new compounds in which unobvious properties have been found, the properties being inherent in the compounds, one could even say it is "somewhat irrational" to say the "invention" is not in the compounds. Semantics aside, the hard facts were stated by appellants' counsel in response to court questioning at the end of his argument:

The process claims that the Patent Office would like to drive us to are of very, very little value as a real live honest-to-goodness matter. We all know that. So we simply have to ask for product claims and that's why we're here. * * * The difficulty is this: section 271 of the Patent Code helped out immensely with respect to this problem of misuse but it does not make a method claim the equivalent of a product claim, and that is the fundamental difficulty.

[10] Our view, in brief, is that the basic principle of the patent system is to protect inventions which meet the statutory requirements. Valuable inventions should be given protection of value in the real world of business and the courts. We do not share the board's theoretical fear that allowing the compound claims on appeal will "dominate activity" with respect to the use of the claimed compounds for purposes such as those disclosed in the French patent, or any purposes other than the treatment of diabetes, to put it as broadly as

possible. For one thing, the claims here will give no domination whatever over the compounds disclosed in the references. For another, balancing the alternatives of providing adequate protection to appellants' limited group of anti-diabetic agents against the mere possibility that someone might wish to use some of them for some such purpose as making a textile size, we favor the former.

For the foregoing reasons and others stated in Papesch and later cases following its principles, the decision of the board is reversed.

FN1 This application is not of record here and we know nothing more about it.

FN2 Appellants' brief states that this was another of their developments and that they have obtained U.S. patent 2,968,158 thereon, not of record.

FN3 The corresponding broad description of the specification says that Rsub2 stands for the various named radicals, rather than "atoms" and the use of the latter term in claim 1 would appear to be inadvertent error.

FN4 Article by Samuel J. N. Sugar, M.D., et al. in AMA Archives of Internal Medicine for September, 1959, pages 360-364; article by L. L. Pennock, M.D., in the Pennsylvania Medical Journal for October, 1959, pages 1537-1539.

FN5 The examiner and the board give this patent a date of Dec. 27, 1945, but according to the translation of record that was the filing date of the French application. The patent was granted 25 Nov. 1946 and published 10 March 1947. We state this merely for accuracy. No one has made a point of it.

FN6 The record shows that claim 13 was suggested to appellants under Rule 203 in an office action of July 25, 1957, and was made by them on September 25, 1957. This probably explains why claim 13 does not

correspond to any specific example in appellants' application. The other party to the interference, now dissolved, was William M. McLamore of Chas. Pfizer and Co. Another party was added later, Frederick J. Marshall and Max V. Sigal, but was dissolved on Dec. 2, 1959, before the interference was dissolved on June 20, 1960.

FN7 "Therapeutic" per Webster's 7th New Collegiate Dictionary, means "of or relating to the treatment of disease or disorders by remedial agents or methods." Gould's Medical Dictionary (5th ed.), says: "therapeutics. The branch of medical science dealing with the treatment of disease."

FN8 [4] We are aware that attorneys often write compound claims including a statement of some inherent property, general or specific, for example the product claims of the Martin patent just quoted from, or the claims of the Karrer patent quoted in our opinion in the Petering case. Where the balance of the claim fully identifies the compound, as is true in both instances, and the property is inherent, we fail to see that such statements add anything to the claim definition of the named compound.

MARTIN, Judge, concurring.

I agree with the majority opinion except insofar as it finds a section 102 rejection in the board's decision. I do not think the board's passing reference to In re Petering, 49 CCPA 993, 301 F.2d 676, 133 USPQ 275, i.e., by following Petering claims 1 and 2 "can even be said to be anticipated," can properly be taken as a section 102 rejection. If the board meant such a passing comment to be a rejection under section 102, it should have so stated.

As the majority opinion notes, the examiner and solicitor restrict themselves to the view that the rejection is one of obviousness under section 103. In connection with the obviousness rejection, In re Petering, supra, is correctly cited by the majority since the second issue in that case was one of obviousness. The board affirmed "the decision of the examiner rejecting the claims * * *." Appellants did not notify this court in their reasons of appeal of any

appeal from an affirmance of a rejection based on
section 102.

Thus as the author of the Petering case, I must view as dictum the discussion in the majority opinion, under the heading "The Board's Own Anticipation Rejection," of that portion of In re Petering which relates to the section 102 issue. The appeal before us does not present a clear opportunity to indicate either the limits of the Petering case or its place within the scope of enabling disclosures of section 102.

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